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         AUG 28
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                 CA(SM)/CAplus(SM) Austrian patent law changes
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         SEP 21
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                 CA(SM)/CAplus(SM) display of CA Lexicon enhanced
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                 CAS REGISTRY (SM) updated with amino acid codes for pyrrolysine
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                 CEABA-VTB classification code fields reloaded with new
                 classification scheme
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                 LOGOFF HOLD duration extended to 120 minutes
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                 E-mail format enhanced
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                 CAS Registry Number crossover limit increased to 300,000 in
NEWS 14
         OCT 23
                 multiple databases
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                 The Derwent World Patents Index suite of databases on STN
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                 has been enhanced and reloaded
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                 CHEMLIST enhanced with new search and display field
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                 JAPIO enhanced with IPC 8 features and functionality
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         NOV 10
                 CA/CAplus F-Term thesaurus enhanced
NEWS 19
         NOV 10
                 STN Express with Discover! free maintenance release Version
                 8.01c now available
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                 CAS Registry Number crossover limit increased to 300,000 in
                 additional databases
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         NOV 20
                 CA/CAplus to MARPAT accession number crossover limit increased
                 to 50,000
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         DEC 01
                 CAS REGISTRY updated with new ambiguity codes
NEWS 23
         DEC 11
                 CAS REGISTRY chemical nomenclature enhanced
NEWS 24
         DEC 14
                 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 25
         DEC 14
                 GBFULL and FRFULL enhanced with IPC 8 features and
                 functionality
         DEC 18
NEWS 26
                 CA/CAplus pre-1967 chemical substance index entries enhanced
                 with preparation role
NEWS 27
         DEC 18
                 CA/CAplus patent kind codes updated
NEWS 28
         DEC 18
                 MARPAT to CA/CAplus accession number crossover limit increased
                 to 50,000
NEWS 29
         DEC 18
                 MEDLINE updated in preparation for 2007 reload
NEWS 30
         DEC 27
                 CA/CAplus enhanced with more pre-1907 records
              NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
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0.21

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DICTIONARY FILE UPDATES: 26 DEC 2006 HIGHEST RN 916310-60-6

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chain nodes :

7 8 9 11 21 22

ring nodes :

1 2 3 4 5 6 10 12 13 14 15 16 17 18 19 20

chain bonds :

6-7 7-8 8-9 9-10 9-11 12-21 16-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-12 10-16 12-13 13-14 14-15 14-17 15-16

15-20 17-18 18-19 19-20

exact/norm bonds :

6-7 7-8 8-9 9-11 10-12 10-16 12-13 12-21 13-14 15-16 16-22

exact bonds :

9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-17 15-20 17-18 18-19 19-20

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS 22:CLASS

## L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:06:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 40 TO ITERATE

100.0% PROCESSED 40 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 421 TO 1179
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

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FULL SEARCH INITIATED 11:06:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1160 TO ITERATE

100.0% PROCESSED 1160 ITERATIONS

39 ANSWERS

SEARCH TIME: 00.00.01

L3 39 SEA SSS FUL L1

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 166.94 167.15

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L4 ANSWER 1 OF 3
ACCESSION NUMBER:
DOCUMENT NUMBER:
143:248371
Preparation of naphthyridinecarboxamides as HIV
integrase inhibitors
Johns, Brian Alvin; Boros, Eric Eugene; Kawasuji,
Takashi; Koble, Cecilia S.; Kurose, Noriyuki; Murai,
Hitoshi; Sherrill, Ronald George; Weatherhead, Jason
Gordon Hitoshir Sherrill, Ronald George, Weatherhead, Jason Gordon Smithkline Beecham Corporation, USA; Shionogi & Co., Ltd PCT Int. Appl., 447 pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT NO.								APPLICATION NO.							DATE		
								WO 2005-US4085										
										BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		GE.	GH.	GM.	HR.	HU.	ID.	IL.	IN.	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO.	NZ.	OM.	PG.	PH.	PL.	PT.	RO.	RU,	SC.	SD,	SE,	SG,	SK,	SL,	SY,	
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OTHER SOURCE(S): MARPAT 143:248371

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 863438-97-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
7-[(4-fluorophenyl)]methyl]-1,2-dihydro-4hydroxy-1-methyl-N-[2-[4-(methylsulfonyl)phenyl]ethyl]-2-oxo- (9CI) (CA
INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

The title compds. I [R1 = H, OH, CN, etc.; R2 = H, alkyl, haloalkyl,

R3 = H, OH, alkyl, etc.; and their pharmaceutically acceptable salts)

are HIV integrase inhibitors and therefore are useful in the inhibition

HIV replication, the prevention and/or treatment of infection by HIV, and in the treatment of AIDS and/or ARC, were prepared E.g., a multi-step synthesis of II, starting from 1-fluoro-4-iodobenzene and allyl alc., was given. The compds. I exhibited anti-HIV activity in two biol. assays in the range IC50 = 1-1000 nM. For example, II showed IC50 of < 10 nM in

MT4 cell assay. The pharmaceutical compns. comprising the compound I alone

in combination with other therapeutic agent, were disclosed. 863432-72-8P 863432-73-9P 863438-97-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) IT

(Uses)
(preparation of naphthyridinecarboxamides as HIV integrase inhibitors)
863432-72-8 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-{2-phenylethyl}-7-{phenylmethyl}- (9CI) (CA INDEX NAME)

863432-73-9 CAPLUS 1,5-Maphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(1-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

Preparation of quinoline and naphthyridine

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:252486 CAPLUS DOCUMENT NUMBER: 140:287278 Preparation of quinoline and derivatives as HIV integrase inhibitors
Murai, Hitoshi; Endo, Takeshi; Kurose, Noriyuki;
Taishi, Teruhiko; Yoshida, Hiroshi
Shionogi & Co., Ltd., Japan
PCT Int. Appl., 396 pp.
CODEN: PIXXD2
Patent
Japanese
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INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT:

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WO	2004	0246	93				0325	WO 2003-JP10212						20030811			
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					•					JP 2	003-	2708	63		A 2	0030	704
										WO 2	003-	JP10	212		W 2	0030	811

OTHER SOURCE(S):

MARPAT 140:287278

The title compds. I {wherein Bl = N or (un)substituted CH; Rl = H, (un)substituted alkyl, alkenyl, etc.; Rl' = H, halo, NO2, OH, CO2H, (un)substituted alkoxycarbonyl, alkyl, alkoxy, etc.; Al = (un)substituted -CH=CH-CH=CH-, -CH=CH-CH=CH-, -CH=CH-CH=CH-, -CH=CH-CH=CH-, -CH=CH-CH=CH-, -CH=CH-O-CH2-, -CH=CH-CH2-O-, or -CH=CH-O-] or producys, solvates, or pharmaceutically acceptable salts thereof are prepared as HIV integrase inhibitors. For example, the bund

Uli was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.071  $\mu$ g/mL against integrase. Formulations containing I

an active ingredient were also described. 675614-22-9P

IT 8/30/4-22-79
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinoline and naphthyridine derivs. as HIV

as HV
integrase inhibitors)
RN 675614-22-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4hydroxy-2-oxo-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

- ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) and AIDS as compds. per se or as pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns. (one example given), optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described. Although the methods of preparation of the preventing of treating infection by HIV are also described. Although the methods of preparation of the preventing of the HIV replication. For I: L = linker connecting the C atom of the Ph ring to the N of the -NNH molety = single bond, -(C1-6 alkyl)- (unjsubstituted with -C(0)N(RaRb), -(C0-3 alkyl)-c) = (C0-6 alkyl)-(C3-6 cycloalkyl)-(C0-6 alkyl)- c. tplobond. -(C1-3-alkyl)- or -(-(C-6-alkyl)- c)-(-6-alkyl)- (C3-6 cycloalkyl)-(C0-6-alkyl)- Rla, Rlb, and Rlc = H, halogen, -C1-6 alkyl, or -C1-6 (unjsubstituted alkyl, -OR, halo, -NO2, -NC, -C(OR, -CO2Ra, -S(O)NRA, -SO2N(RaRb), -N(Ra)-C0)-(C1-6-alkyl)- (ON)(RaRb), -N(Ra)-C0)-(C1-6 alkyl-C(O)-(C1-6 alkyl-C(O)-(C1-6 alkyl-C(O)-(C1-6 alkyl-C)-(C1-6 alkyl-C)-(C1-6
- -SOZN(RARD), -SOZN(RARD), -SOZN(RARD), -SOZN(RARD), -RK, -S(0)n-C1-6 alkyl-Rk, -N(Ra)C(0)-Rk, or -N(Ra)C(0)-C1-6 alkyl-Rk; each of R4 and R5 = H, -C1-6 (un)substituted alkyl, -SOZN(RARD), or -C1 alkyl-Rm; each Ra and Rb = N, -C1-6 alkyl, or -C3-8 cycloalkyl; Rk is a carbocycle or a heterocycle; each Rm = a carbocycle or a heterocycle;
- $n=0,\ 1$  or 2; addn1. details including provisos are given in the claims.  $569353-94-2P,\ N-(4-Fluoroben2yl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide <math display="inline">569353-97-5P,\ 1-[2-[(Dimethylamino]sulfonyl]ethyl]-N-(4-fluoroben2yl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide <math display="inline">569354-02-5P,$
- 1-[2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  56934-04-77, 1-[(1,4-Dioxan-2-y1)methyl]-N-[4-fluorobenzyl]-4hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  569354-05-89, 1-[(1,4-Dioxan-2-y1)methyl]-N-[4-fluoro-2(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3carboxamide 569354-05-99, 1-[(1,4-Dioxan-2-y1)methyl]-N-[4fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5naphthyridine-3-carboxamide 569354-07-09, N-[4-Fluorobenzyl]-4hydroxy-1-[2-[(methyl) methylsulfonyl) amino]ethyl]-2-oxo-1,2-dihydro-1,5naphthyridine-3-carboxamide 569354-19-4P, N-[4-Fluoro-2-
- (methylsulfonyl)benzyl]-4-hydroxy-1-{2-[(methyl) (methylsulfonyl)amino]ethy
  1]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-21-8P
  569354-24-1P, N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-{2(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  569354-26-3P, 1-Ethyl-N-[4-fluoro-2-(methylthol)benzyl]-4-hydroxy2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-28-SP,
- 1-Ethyl-N-[4-fluoro-2-(methylsulfonyl)benzyl}-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-30-9P,
- N-[4-Fluoro-2-{methylsulfonyl}benzyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-32-1P,
- N-(4-Fluorobenzyl)-4-hydroxy-1-(2-(methylsulfinyl)ethyl]-2-oxo-1,2-dihydro-

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:591151 CAPLUS DOCUMENT NUMBER: 139:133554

TITLE:

139:133554
Preparation of hydroxynaphthyridinone carboxamides
useful as HIV integrase inhibitors
Egbertson, Melissa: Melamed, Jeffrey Y.; Langford, H.
Marie: Young, Steven D.
Merck & Co., Inc., USA
PCT Int. Appl., 143 pp.
CODEN: PIXXD2
Parent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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OTHER SOURCE (S): MARPAT 139:133554

- Hydroxynaphthyridinone carboxamides (shown as I; variables defined below; e.g. N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide) are described as inhibitors of HIV integrase and inhibitors of HIV replication. These compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. were employed against HIV infection
- ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN 1,5-naphthyridine-3-carboxamide 569354-34-3P, (Continued)
- N-(4-Fluorobenzy1)-4-hydroxy-1-[2-(methylsulfony1)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-35-4P,
- N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(morpholin-4-yl)ethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-36-5P,
- N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-37-6P,
- dihydro-1,3-naphthyridine-3-carboxamide 569354-37-6P,

  N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide trifluoroacetate
  569354-39-8P, 1-[2-(Dimethylamino)-2-oxoethyl]-N-(4-fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-44-5P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  569354-45-6P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-1-(2-methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  569354-46-PP, 1-Benzyl-N-[4-fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
  569354-47-8P, 1-[2-[([0imethylamino)aulfonyl](methyl)amino]ethyl]-N-[4-fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-54-PP, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-4-hydroxy-1-[2-(4-methylaulfonyl)benzyl]-4-hydroxy-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-1-[2-(4-methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-8P, N-[4-Fluoro-2-(methylaulfonyl)benzyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-PP, N-[4-Flu
- 1-Benzyl-N-(4-fluoro-2-([methylamino]carbonyl]benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-67-2P, Sodium 1-benzyl-3-[[fl-fluoro-2-([methylamino]carbonyl]benzyl]amino]carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate 569354-68-3P, N-[4-Fluoro-2-(methylamilonyl)benzyl]-4-hydroxy-2-oxo-1-(2-oxo-2-th)omorpholin-4-ylethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES ([flee])

(Uses)

(drug candidate; prepn. of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors)

RN 569353-94-2 CAPJUS

CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)lmethyl)-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569353-97-5 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1-{2-{{dimethylamino}sulfonyl}ethyl}-N-

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) [(4-fluorophenyl)methyl)-1.2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-02-5 CAPLUS 1,5-Maphthyridine-3-carboxamide, 1-[2-[[dimethylamino]sulfonyl]ethyl]-N-[[4-fluoro-2-(methylsulfonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-[9C1) (CA INDEX NAME)

569354-04-7 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1-(1,4-dioxan-2-ylmethyl)-N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-05-8 CAPLUS
1,5-Naphthyridine-3-carboxamide,
4-dioxan-2-ylmethyl)-N-[{4-fluoro-2-(methylthio)phenyl}methyl}-1,2-dihydro-4-hydroxy-2-oxo-(9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1,5-Naphthyridine-3-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl]methyl-1-2-dihydro-4-hydroxy-1-[2-[methyl(methylsulfonyl)amino]ethyl]-2-oxo- (9CI) (CA INDEX NAME)

569354-24-1 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-06-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-(1,4-dioxan-2-ylmethyl)-N-[[4-fluoro-2(methylsulfonyl)phenyl]methyl)-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA
INDEX NAME)

RN 569354-07-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(d-fluorophenyl]methyl]-1,2-dihydro-4hydroxy-1-[2-[methyl]methylsulfonyl)amino]ethyl]-2-oxo- {9CI} (CA INDEX NAME)

569354-19-4 CAPLUS

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

569354-26-3 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-(9CI) (CA INDEX

569354-28-5 CAPLUS 1,5-Maphthyridine-3-carboxamide, 1-ethyl-N-[{4-fluoro-2-(methylaulfonyl)phenyl}methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-30-9 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-1-methyl-2-oxo-

(9CI) (CA INDEX NAME)

RN 569354-32-1 CAPLUS
CN 1.5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)]methyl]-1.2-dihydro-4hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-34-3 CAPLUS
CN 1,5-Maphthyridine-3-carboxamide,
N-[(4-fluorophenyl)]methyl]-1,2-dihydro-4hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-35-4 CAPLUS
CN 1,5-Maphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4hydroxy-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-36-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

569354-39-8 CAPLUS 1,5-Naphthyridine-1(2H)-acetamide, 3-[[[{4-fluoro-2-

(methylsulfonyl)phenyl]methyl}amino]carbonyl]-4-hydroxy-N,N-dimethyl-2-oxo-(9CI) (CA INDEX NAME)

569354-44-5 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- [9CI] (CA INDEX NAME)

RN 569354-45-6 CAPLUS CN 1,5-Naphthyridine-3-carboxamide, N-{{4-fluoro-2-

(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-(2-methoxyethyl)-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-37-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluoropheny] methyl]-1,2-dihydro-4hydroxy-2-oxo-1-[2-(IH-1,2,4-triazol-1-yl)ethyl}-, mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 569354-36-5 CMF C20 H17 F N6 O3

2

(Continued)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continu 569354-46-7 CAPLUS 1,5-Naphthyridine-3-carboxamide, N-{{4-fluoro-2-(methylsulfonyl)phenyl}methyl}-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 569354-47-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[{(dimethylamino)sulfonyl}methylamin
o|ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-54-7 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylaulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-methyl-1-piperazinyl)-2-oxoethyl)-2-oxo- (9CI) (CA INDEX NAME)

569354-55-8 CAPLUS 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-

569354-56-9 CAPLUS

1,5-Naphthyridine-3-carboxamide,
-[{(dimethylamino)carbonyl]methylamin
o|ethyl]-N-{[4-fluoro-2-(methylsulfonyl)phenyl]methyl}-1,2-dihydro-4hydroxy-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

569354-03-6P, 1-[2-{[Dimethylamino}sulfonyl}ethyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-41-2P, Methyl [3-{[{4-fluoro-2-

(methylthio)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)yllacetate 569354-42-3P, Methyl [3-{[[4-fluoro-2(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin1(2H)-yl]acetate 569354-43-4P, [3-{[[4-fluoro-2(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5naphthyridin-1(2H)-yllacetic acid 569354-52-5P, Benzyl

[2-[3-[[4-fluoro-2-(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo1,5-naphthyridin-1(2H)-yl]ethyl](methyl)carbamate 569354-53-6P,

N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylamino)ethyl]-2oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of hydroxynaphthyridinone carboxamides useful as HIV

(preparation of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors)

RN 569354-03-6 CAPLUS

CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-

569354-41-2 CAPLUS

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

569354-57-0 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl}-1,2-dihydro-4-hydroxy-2-oxo-1-[phenylmethyl]- (9CI) (CA INDEX NAME)

(Continued)

569354-67-2 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2[(methylamino]carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)

569354-68-3 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[2-oxo-2-(4thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1,5-Naphthyridine-1(2H)-acetic acid, 3-[[[(4-fluoro-2-(methylthio)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

569354-42-3 CAPLUS
1,5-Maphthyridine-1(2H)-acetic acid, 3-[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

569354-43-4 CAPLUS
1,5-Maphthyridine-1(2H)-acetic acid, 3-[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-(9CI) (CA INDEX NAME)

RN 569354-52-5 CAPLUS
CN Carbamic acid,
[2-[3-[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]ca
rbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl]methyl-,
phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-53-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-[{4-fluoro-2-(methylaulfonyl)phenyl)methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo-(9CI) (CA INDEX NAME)

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2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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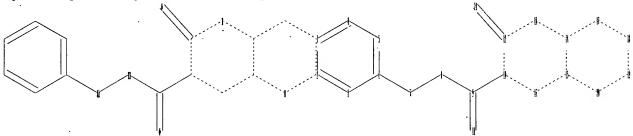
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chain nodes:
7 8 9 11 21
ring nodes:
1 2 3 4 5 6 10 12 13 14 15 16 17 18 19 20
chain bonds:
6-7 7-8 8-9 9-10 9-11 12-21
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 10-12 10-16 12-13 13-14 14-15 14-17 15-16
15-20 17-18 18-19 19-20
exact/norm bonds:
6-7 7-8 8-9 9-11 10-12 10-16 12-13 12-21 13-14 14-15 14-17 15-16 15-20
17-18 18-19 19-20

exact bonds :

9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

## L5 STRUCTURE UPLOADED

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L5 HAS NO ANSWERS

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:07:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 736 TO 1664

PROJECTED ANSWERS: 2 TO 124

L6 2 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 11:07:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1789 TO ITERATE

100.0% PROCESSED 1789 ITERATIONS SEARCH TIME: 00.00.01

44 ANSWERS

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

167.38 350.32

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -2.25

FILE 'CAPLUS' ENTERED AT 11:07:57 ON 27 DEC 2006
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http://www.cas.org/infopolicy.html

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L8 6 L7

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L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
143:248371
ITILE:
INVENTOR(S):
John, Brian Alvin: Boros, Eric Eugene; Kawasuji,
Takashi; Koble, Cecilia S.; Kurose, Noriyuki; Murai,
Hitoshi; Sherrill, Ronald George; Weatherhead, Jason
Gordon
PATENT ASSIGNEE(S):
Smithkline Beecham Corporation, USA; Shionogi & Co.,
Ltd

Smithkline Beecham Corp Ltd PCT Int. Appl., 447 pp. CODEN: PIXXD2 Patent English 1 SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE WO 2005077050
WO 2005077050
W: AE, AG,
CN, CO,
GE, GH,
LK, LR,
NO, N2,
TJ, TM, A2 20050825 A3 20061123 AM, AT, AU, AZ, CU, CZ, DE, DK, HR, HU, ID, IL, LT, LU, LV, MA, PG, PH, PL, PT, TR, TT, TZ, UA, WO 2005-US4085 20050210 BY, ES, KP, MX, SG, YU, TJ. TH, IN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,

RN: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG,
AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
MR, NE, SN, TD. TG

AU 2005211733 A1 20050825 AU 2005-211733
CA 2555176 A1 20050825 CA 2005-2555176
EP 1720856 A2 2006115 PP 2005-726489
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK,
HR, LV, MK, YU
NO 2006003958 A 20060922 NO 2006-3958
PRIORITY APPLN. INFO.: 20050210 20050210 20050210 GR, HU, IE, TR, AL, BA, 20060905 20040211

WO 2005-US4085 W 20050210

OTHER SOURCE(S):

SM

MARPAT 143:248371

L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 863438-97-5 CAPLUS
(N 1,5-Naphthyridine-3-carboxamide,
-7-((4-fluorophenyl) methyl]-1,2-dihydro-4hydroxy-1-methyl-N-[2-[4-(methylsulfonyl)phenyl]ethyl]-2-oxo- (9CI) (CA
INDEX NAME)

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

The title compds. I [R1 = H, OH, CN, etc.; R2 = H, alkyl, haloalkyl,

R3 = H, OH, alkyl, etc.; and their pharmaceutically acceptable salts)

are HIV integrase inhibitors and therefore are useful in the inhibition

HIV replication, the prevention and/or treatment of infection by HIV, and in the treatment of AIDS and/or ARC, were prepared E.g., a multi-step synthesis of II, starting from 1-fluoro-4-iodobenzene and allyl alc., was given. The compds. I exhibited anti-HIV activity in two biol. assays in the range IC50 = 1-1000 nM. For example, II showed IC50 of < 10 nM in

cell assay. The pharmaceutical compns. comprising the compound I alone

in combination with other therapeutic agent, were disclosed.
863432-72-8P 863432-73-9P 863438-97-5P
R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of naphthyridinecarboxamides as HIV integrase inhibitors)
863432-72-8 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(2-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

863432-73-9 CAPLUS 1,5-Naphthyridine-3-carboxamide, 1,2-dihydro-4-hydroxy-2-oxo-N-(1-phenylethyl)-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN SSION NUMBER: 2004:252486 CAPLUS MENT NUMBER: 140:287278

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: Preparation of quinoline and naphthyridine

derivatives

as HIV integrase inhibitors INVENTOR(S):

as Hit Hitegrase Hinibitors; Kurose, Noriyuki; Taishi, Teruhiko; Yoshida, Hiroshi
Shionogi & Co., Ltd., Japan
PCT Int. Appl., 396 pp.
CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA:	rent :	мо.					DATE									ATE	
	WO	2004	0246	93		A1		2004	0325		WO 2	003-	JP10	212		2	0030	811
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	TR,
			TT,	TZ,	UA,	UG,	US,	UZ,	vc,	VN,	YU,	ZA,	ZM,	ZW				
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
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	ΕP	1541																
		R:						ES,										PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	Hυ,	sĸ	
	US	2006	1286	69		Al		2006	0615		US 2	005~	5242	917		2	0050	210
PRIOF	US	2006	2472	12		Al		2006	1102		US 2	006-	4782	18 7		2	0060	630
PRIOF	RIT	APP	LN.	INFO	. :						JP 2	002-	2355	82	•	A 2	0020	813
											JP 2	002-	2457	72		A 2	0020	826
											JP 2	003-	1217	26		A 2	0030	425
											JP 2	003-	2708	63		A 2	0030	704
		٠									WO 2	003-	JP10	212	,	w 2	0030	811
											US 2	005-	5242	81		A3 2	0050	210

OTHER SOURCE(S):

MARPAT 140:287278

The title compds. I [wherein Bl = N or [un]substituted CH; Rl = H, [un]substituted alkyl, alkenyl, etc.; Rl' = H, halo, NO2, OH, CO2H, [un]substituted alkoxycarbonyl, alkyl, alkoxy, etc.; Al = [un]substituted -CH=CH-CH-CH-C, -CH=CH-CH-N-N, -CH=CH-O-CH2-, -CH=CH-CH2-O-, or -CH=CH-O-] or prodrugs, solvates, or pharmaceutically acceptable salts thereof are prepared as HIV integrase inhibitors. For example, the lound

II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.071 µg/ML against integrase. Formulations containing I

an active ingredient were also described. 675614-22-9P RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses)

as HIV
integrase inhibitors)
RN 675614-22-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo-7-(phenylmethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 3 of 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) and AIDS as compds. per se or as pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns. (one example given), optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described. Although the methods of prepn. are not claimed, 27 example prepns of I are included all have ICS0's <0.5 µM in a HIV integrase assay and all have ICS0's <5 µM in an assay for inhibition of HIV replication. For I: L = linker connecting the C atom of the Ph ring to the N of the -NH- moiety = single bond, -(C1-6 alkyl)- (.10 layl)-(C0-6 alkyl)- (C0-3 alkyl)-C: (C1-3-alkyl)-, or -(C0-6 alkyl)- (C3-6 cycloalkyl)-(C0-6 alkyl)-. Rla, Rlb, and Rlc = H, halogen, -(1-6 alkyl)- or -C1-6 haloalkyl; R2a and R2b = H, -C1-6 (un) substituted alkyl, -0-C1-6 (un) substituted alkyl, -00, halo, -NO2, -NC, -C(0)Ra, -C0Zha, -S(0)Ra, -S0ZNRaRb), -N(Rah)C(0)-(NRaRb), -NRah)C(0)-(NRaRb), -NRah)C(0)-(C1)N(RaRb), -NRah)C(0)-C1-6 alkyl-C(0)N(RaRb), -Rk, -S(0)N-C1-6 alkyl-Rk, -N(Rah)C(0)-C1-6 alkyl-Rk, -NRah)C(0)-C1-6 a

 $n=0,\ 1$  or 2; addn1. details including provisos are given in the claims. 569353-94-2P, N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569353-97-5P, 1-(2-[(Dimethylamino)sulfonyl]ethyl-N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-02-5P,

1-{2-[(Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylsulfonyl)benzyl]4-hydroxy-2-oxo-1, 2-dihydro-1, 5-naphthyridine-3-carboxamide
569334-04-7P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluorobenzyl]-4hydroxy-2-oxo-1, 2-dihydro-1, 5-naphthyridine-3-carboxamide
569354-05-8P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4-fluoro-2(methylthio)benzyl]-4-hydroxy-2-oxo-1, 2-dihydro-1, 5-naphthyridine-3carboxamide 569354-06-9P, 1-[(1,4-Dioxan-2-yl)methyl]-N-[4fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1, 2-dihydro-1, 5naphthyridine-3-carboxamide 569354-07-0P, N-[4-Fluorobenzyl]-4hydroxy-1-[2-[(methyl) [methylsulfonyl) lamino]ethyl]-2-oxo-1, 2-dihydro-1, 5naphthyridine-3-carboxamide 569354-19-4P, N-[4-Fluoro-2-

(methylsulfonyl)benzyl]-4-hydroxy-1-[2-[ (methyl) (methylsulfonyl)amino]ethy
l]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-21-8P
569354-24-1P, N-[4-Fluoro-2-(methylsulfonyl)]0-4-hydroxy-1-[2(methylsulfonyl)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-26-3P, 1-Ethyl-N-[4-fluoro-2-(methylsulfo)benzyl]-4-hydroxy2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-28-5P,

1-Ethyl-N-[4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-30-9P,

N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-methyl-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-32-1P,

N-(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo-1.2-dihydro-

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:591151 CAPLUS DOCUMENT NUMBER: 139:133554

139:133554
Preparation of hydroxynaphthyridinone carboxamides
useful as HTV integrase inhibitors
Eghertson, Melissa; Melamed, Jeffrey Y.; Langford, H.
Marie; Young, Steven D.
Merck & Co., Inc., USA
PCT Int. Appl., 143 pp.
CODEN: PIXXD2
Batent TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.																			
WO 2003062204 AI 20030731 WC 2003-USB13 20030113 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GK, GK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, KM, KM, Z, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TTM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BT, BB, CH, CY, CZ, DE, DK, EE, SS, SK, TR, BT, CA, CA, CA, CA, CA, CA, CA, CA, CA, CA	1	PAT	ENT :	NO.			KIN	D	DATE		- 2	APPL	ICAT	ION	мо.		D	ATE	
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	PRIOR	ITY	APP	LN.	INFO	.:					1	US 2	002-	3497	75P		P 2	0020	117

WO 2003-US813

OTHER SOURCE(S):

MARPAT 139:133554

Hydroxynaphthyridinone carboxamides (shown as I; variables defined below; e.g. N-(4-fluorobenzyl)-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide) are described as inhibitors of HIV integrase and inhibitors of HIV replication. These compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. were employed against HIV infection

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN 1,5-naphthyridine-3-carboxamide 569354-34-3P, (Continued)

(4-Fluorobenzyl)-4-hydroxy-1-[2-(methylsulfonyl)ethyl)-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-35-4P,

N-(4-Fluorobenzy1)-4-hydroxy-1-[2-(morpholin-4-y1)ethy1]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-36-5P,

N-(4-Fluorobenzyl)-4-hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-37-6P,

dihydro-1,5-naphthyridine-3-carboxamide 569354-37-6P,

N-{4-Fluorobenzyl}-4-hydroxy-2-oxo-1-{2-{1H-1,2,4-triazol-1-yl}ethyl}-1,2-dihydro-1,5-naphthyridine-3-carboxamide trifluoroacetate·
569354-39-8P, 1-{2-(Dimethylamino)-2-oxoethyl]-N-{4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-43-6P, N-{4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-43-6P, N-{4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-{2-methoxyethyl}-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-46-PP, 1-Benzyl-N-{4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-47-8P, 1-{2-{((Dinethylamino)sulfonyl)methyllamino)ethyl]-N-{4-fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-55-8P, N-{4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-{2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-55-8P, N-{4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-{2-(morpholin-4-yl)-2-oxoethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide
569354-55-8P, N-{4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-55-0P, N-{4-Fluoro-2-(m

1-Benzyl-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-67-2P, Sodium 1-benzyl-3-[(l4-fluoro-2-((methylamino)carbonyl]benzyl]amino]carbonyl]-2-oxo-1,2-dihydro-1,5-naphthyridin-4-olate 569354-68-3P, N-[4-fluoro-2-(methylsunlfonyl)benzyl]-4-hydroxy-2-oxo-1-[2-oxo-2-thiomorpholin-4-ylethyl]-1,2-dihydro-1,5-naphthyridine-3-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(drug candidate; prepn. of hydroxynaphthyridinone carboxamides useful as HIV integrase inhibitors)
569353-94-2 CAPLUS
1,5-Maphthyridine-3-carboxamide,
(4-flucrophenyl)methyl)-1,2-dihydro-4hydroxy-2-oxo- (9C1) (CA INDEX NAME)

569353-97-5 CAPLUS 1,5-Naphthyridine-3-carboxamide, 1-[2-[{dimethylamino}sulfonyl}ethyl]-N-

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) [(4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-02-5 CAPLUS
1,5-Maphthyridine-3-carboxamide, 1-[2-[{dimethylamino}sulfonyl]ethyl}-N-[{4-fluoro-2-(methylsulfonyl)phenyl}methyl}-1,2-dihydro-4-hydroxy-2-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

569354-04-7 CAPLUS 1,5-Naphthyridine-3-carboxamide, 1-(1,4-dioxan-2-ylmethyl)-N-((4-fluorophenyl)methyl]-1,2-dihydro-4-hydroxy-2-oxo- (951) (CA INDEX NAME)

RN 569354-05-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1(1,4-dioxan-2-ylmethyl)-N-[(4-fluoro-2(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1,5-Naphthyridine-3-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)penyl]nethyl]-1,2-dinydro-4-hydroxy-1-[2-(methyl(methylsulfonyl)amino]ethyl)-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-21-8 CAPLUS
CN 1,5-Maphthyridine-3-carboxamide,
N-[(4-fluorophenyl)methyl]-1,2-dihydro-4hydroxy-2-oxo-1-[(tetrahydro-1,1-dioxido-3-thienyl)methyl]- (9CI) (CA
INDEX NAME)

569354-24-1 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-06-9 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-(1,4-dixan-2-ylmethyl)-N-[(4-fluoro-2(methylsulfonyl)phenyl]methyl)-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA
INDEX NAME)

RN 569354-07-0 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl]methyl]-1,2-dihydro-4hydroxy-1-[2-[methyl]methyl]smino]ethyl]-2-oxo- {9CI} (CA INDEX NAME)

569354-19-4 CAPLUS

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN LB (Continued)

569354-26-3 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-[[4-fluoro-2[methylthio]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-(9CI) (CA INDEX

569354-28-5 CAPLUS
1,5-Naphthyridine-3-carboxamide, 1-ethyl-N-{{4-fluoro-2-(methylsulfonyl)phenyl}methyl}-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

569354-30-9 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-methyl-2-oxo-

(9CI) (CA INDEX NAME)

RN 569354-32-1 CAPLUS
CN 1.5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)]methyl]-1,2-dihydro-4hydroxy-1-[2-(methylsulfinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-34-3 CAPLUS .
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl)]methyl]-1,2-dihydro-4hydroxy-1-[2-(methylsulfonyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-35-4 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[{4-fluorophenyl]methyl]-1,2-dihydro-4hydroxy-1-[2-{4-morpholinyl}ethyl}-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-36-5 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-[(4-fluorophenyl]methyl]-1,2-dihydro-4hydroxy-2-oxo-1-[2-{lH-1,2,4-triazol-1-yl}ethyl}- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

569354-39-8 CAPLUS 1,5-Naphthyridine-1(2H)-acetamide, 3-[[[{4-fluoro-2-

(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-N, N-dimethyl-2-oxo-(9CI) (CA INDEX NAME)

569354-44-5 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

RN 569354-45-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, N-{[4-fluoro-2-

(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-(2-methoxyethyl)-2-oxo- (9C1) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-OMe & 0\\ \hline \\ N & O = S-Me \\ \hline \\ N & O \end{array}$$

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-37-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
N-{(4-fluorophenyl)methyl]-1,2-dihydro-4hydroxy-2-oxo-1-[2-(1H-1,2,4-triazol-1-yl)ethyl}-, mono(trifluoroacetate)
(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 569354-36-5 CMF C20 H17 F N6 O3

CM 2

76-05-1 C2 H F3 O2

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continue 569354-46-7 CAPLUS 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl)-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME) (Continued)

RN 569354-47-8 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide,
1-[2-[[(dimethylamino)sulfonyl]methylamin
o|ethyl]-N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4hydroxy-2-oxo- [9CI] (CA INDEX NAME)

569354-54-7 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[{4-fluoto-2-(methylsulfonyl)phenyl)methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-methyl-1-piperazinyl)-2-oxochyl)-2-oxo- (9CI) (CA INDEX NAME)

569354-55-8 CAPLUS 1,5-Naphthyridine-3-carboxamide, N-{[4-fluoro-2-

(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

569354-56-9 CAPLUS

1,5-Naphthyridine-3-carboxamide,
-[[(dimethylamino)carbonyl]methylamin
o]ethyl]-N-{[4-fluoro-2-[methylsulfonyl]phenyl]methyl]-1,2-dihydro-4hydroxy-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

569354-03-6P, 1-[2-{[Dimethylamino)sulfonyl]ethyl]-N-[4-fluoro-2-(methylthio)benzyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-41-2P, Methyl [3-{[[4-fluoro-2-

(methylthio)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)yl]acetate 569354-42-3P, Methyl [3-[[{4-fluoro-2(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin1(2H)-yl]acetate 569354-43-4P, [3-[[{4-fluoro-2(methylsulfonyl)benzyl]amino]carbonyl]-4-hydroxy-2-oxo-1,2-dihydro-1,5naphthyridin-1(2H)-yl]acetic acid 569354-52-5P, Benzyl

[2-[3-[[[4-fluoro-2-{methylsulfonyl}benzyl]amino]carbonyl]-4-hydroxy-2-oxo-l,5-naphthyridin-1(2H)-yl]ethyl](methyl)carbamate 569354-53-6P,

N-[4-Fluoro-2-(methylsulfonyl)benzyl]-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo-1,2-dihydro-1,5-naphthyridine-3-carboxamide 569354-66-1P,

1-Benzyl-4-(benzyloxy)-N-[4-fluoro-2-((methylamino)carbonyl]benzyl]-2-oxo-

1-Benzyl-4-(benzyloxyl-N-(4-fluoro-2-(imethylamino)carbonyl)benzyl]-2-oxo1,2-dihydro-1,5-naphthyridine-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
integrase
inhibitors)
RN 569354-03-6 CAPLUS
CN 1,5-Naphthyridine-3-carboxamide, 1-[2-[(dimethylamino)sulfonyl]ethyl]-N[[4-fluoro-2-(methylthio)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo[9CI)

(CA INDEX NAME)

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

569354-57-0 CAPLUS
1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-[[methylamino]carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[phenylmethyl)- (9CI) (CA INDEX NAME)

(Continued)

569354-67-2 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2-[[methylamino]carbonyl]phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)

569354-68-3 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-2-oxo-1-[2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

569354-41-2 CAPLUS
1,5-Naphthyridine-1(2H)-acetic acid, 3-{[[{4-fluoro-2-(methylthiolphenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester
(9CI) (CA INDEX NAME)

569354-42-3 CAPLUS
1,5-Maphthyridine-1(2H)-acetic acid, 3-[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-hydroxy-2-oxo-, methyl ester [9C1) (CA INDEX NAME)

569354-43-4 CAPLUS
1,5-Maphthyridine-1(2H)-acetic acid, 3-[[[4-fluoro-2-(methylsulfonyl)phenyl)methyl]amino]carbonyl]-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 569354-52-5 CAPLUS
CN Carbamic acid,
[2-[3-[[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]amino]ca
rbonyl]-4-hydroxy-2-oxo-1,5-naphthyridin-1(2H)-yl]ethyl]methyl-,
phenylmethyl ester (9CI) (CA INDEX NAME)

569354-53-6 CAPLUS
1,5-Maphthyridine-3-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-1-[2-(methylamino)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

569354-66-1 CAPLUS 1,5-Naphthyridine-3-carboxamide, N-[[4-fluoro-2-

[(methylamino)carbonyl]phenyl]methyl]-1,2-dihydro-2-oxo-4-(phenylmethoxy)-1-(phenylmethyl)- (9C1) (CA INDEX NAME)

L8 ANSWER 4 OF 6
ACCESSION NUMBER:
DOCUMENT NUMBER:
1979:186973 CAPLUS
90:186973 CAPLUS
90:186973 CAPLUS
3-Heterocyclic thiomethyl 7-methoxy-7 substituted acetamido cephalosporins
Yamade, Hirotada; Nakagome, Takenari; Komatsu,
Toshiaki
PATENT ASSIGNEE(S):
SUNTLOWN Chemical Co., Ltd., Japan
U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE:

DOCUMENT TYPE:

Patent English 2 LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4125611	A	19781114	US 1976-745749	19761129
JP 52068193	A	19770606	JP 1975-142647	19751128
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FR 2332758	B1	19811127		
DD 128562	A5	19771123	DD 1976-196021	19761129
GB 1532866	A	19781122	GB 1976-49647	19761129
CS 212257	B2	19820326	CS 1976-7707	19761129
ES 463736	A1	19781216	ES 1977-463736	19771031
ES 463737	A1	19790101	ES 1977-463737	19771031
CS 212258	B2	19820326	CS 1978-3750	19780608
CS 212259	B2	19820326	CS 1978-3751	19780608
CS 212260	B2	19820326	CS 1978-3752	19780608
AT 7805817	А	19780215	AT 1978-5817	19780810
AT 352282	В	19790910		
AT 7805816	A	19790515	AT 1978-5816	19780810
AT 353970	8	19791210		
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ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AB Cephalosporins I (X = optionally substituted N heterocycle; R = optionally substituted Ph; R1 = heterocyclylthio; R2 = H, protective group) were prepared Thus, 0.53 g II was obtained by treating 0.549 g of the aminophenylacetamidocephem with 0.287 g 4-hydroxy-1,5-naphthyridine-3-carboxylic acid N-hydroxysuccinimide ester and 0.332 g BuckEtCOZNA. II had a min. inhibitory concentration against Escherichia coli NIHJ of 3.13 µg/mL.

IT 64152-45-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 64152-45-0 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]cot-2-ene-2-carboxylic acid, 7-[[[[1].2-dihydro-2-oxo-1,5-naphthyridin-3-yl]carbonyl]amino](4-hydroxyphenyl)acityl]amino]-7-methoxy-3-[[1-methyl-1-H-tetrazol-5-yl]thio]methyl]-8-oxo-, monosodium salt, [6R-{6a,7a}]- (SCI)

Absolute stereochemistry.

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN

(Continued)

• Na

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1978:136634 CAPLUS DOCUMENT NUMBER: 88:136634 88:136634
7a-Methoxycephalosporins
Yamada, Hirotada; Nakagome, Takenari; Komatsu,
Toshiaki
Sumitomo Chemical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
Patent
Japanese
1 TITLE: INVENTOR (S): PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE JP 52106886 PRIORITY APPLN. INFO.: А 19770907 JP 1976-23480 19760301 A 19760303

CO2H

AB Fifty-two antibacterial cephalosporins I (R = 4-hydroxy-1,5-naphthyridin-3-y1, 4-hydroxy-3-pyridy1, etc.; R1 = Ph, p-hydroxypheny1, 2-thieny1, etc.; R2 = OAC, OZCNH2, pyridinio, 1-methyltetrazol-5-y1, etc.) were prepared

RZ = OAC, OZCNH2, pyridinio, 1-methyltetrazol-5-yl, etc.) were prepared
N-acylation or nucleophilic replacement. Thus, 7β-(D-2-amino-2-phenylacetamido)-7α-methoxycephalosporanic acid was acylated with
N-hydroxysuccinimide ester of 4-hydroxy-1,5-naphthyridina-3-carboxylic acid and heated with CSHSN and KSCN in HZO to give I (R =
4-hydroxy-1,5-naphthyridin-3-yl, R1 = Ph), where R2 = OAC (Na salt) and pyridinio (hydrothiocyanate).
65759-85-5
RL: BAC (Biological activity or effector, except adverse); BSU logical study, unclassified); BIOL (Biological study)
(bactericidal activity of)
65759-85-5 CAPLUS
5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(1,2-dihydro-2-oxo-1,5-naphthyridin-3-yl)carbonyl]amino] [4-hydroxyphenyl)acctyl]amino] -7-methoxy-3-[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-[6α,7α,7(R\*)]}-

Absolute stereochemistry.

L8 ANSWER 6 OF 6
ACCESSION NUMBER:
1977:552233 CAPLUS
DOCUMENT NUMBER:
57:152233
TITLE:
TIVENTOR(S):
TAMBER ASSIGNATE (A):
TOSHIGHT
TOSHIG

IOSNIAKI
Sumitomo Chemical Co., Ltd., Japan
Ger. Offen., 75 pp.
CODEN: GWXXBX
PATENI

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: German 2

PATENT NO.

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JP 52068193
AU 7620018
HU 173394
DK 7605354
SE 7613304
NL 7613206
NO 7604054
ZA 7607088
AT 7608798
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CA 1086716
CH 62527
ES 453726
BE 848807
FR 2332758
FR 2332758
FR 2332758
FR 2332758
CS 212257
CS 463737
CS 212259
CS 21259
CS 21259 PATENT NO. KIND DATE APPLICATION NO. DATE DATE
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19790910 DE 1976-2653820 JP 1975-142647 AU 1976-20018 HU 1976-5U933 DK 1976-5354 SE 1976-13304 NL 1976-13206 NO 1976-4054 ZA 1976-7088 AT 1976-8798 19761126 19751128 19761125 19761125 19761126 19761126 A1 A B B A A A A B A B A B A B A B B A B B A B B A 19761126 19761126 19761126 CA 1976-266656 CH 1976-14880 ES 1976-453726 BE 1976-172825 FR 1976-35943 19800930 19810930 19810930 19770316 19770624 19811127 19771123 19781122 1978122 1978122 19790101 19820326 19820326 19820326 19820326 19780215 19790910 19790910 19790910 19790910 19790910 19790910 19790910 19790910 19790910 19761126 19761126 19761127 19761129 19761129 DD 1976-196021 GB 1976-49647 CS 1976-7707 ES 1977-463736 ES 1977-463737 CS 1978-3750 CS 1978-3751 CS 1978-3751 AT 1978-5817 19761129 19761129 19761129 19771031 19771031 19780608 19780608 19780608 19780810 19780810 AT 1978-5816 AT 1979-6 19790102 JP 1975-142647 A 19751128 AT 1976-8798 A 19761126

(Continued) ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AB Cephalosporins I (X = N heterocycle, e.g., HoX = 4-hydroxy-1,5naphthyridin-3-yl; R = Ph, substituted phenyl, 1,4-cyclohexadienyl,
2-thienyl; R1 = heterocyclythio, OAc, pyridinium, OZCNN2)(53 compds.)
were prepared e.g. by acylating the aminoacetamidocephems. I had min.
inhibitory concns. against Escherichia coli NIHJ 0.78-25 ppm.

IT 64152-45-0P
RL: BAC (Biological activity or effector, except adverse): BSU
(Biological
study, unclassified); SPN (Synthetic preparation): BIOL (Biological
study): PREP (Preparation)
(preparation and bactericidal activity of)

RN 64152-45-0 CAPLUS

N 5-Thia-1-arabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(1,2-dihydro-2-oxo-1,5-naphthyridin-3-yl)carbonyl]amino)(4hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1-H-tetrazol-5yllthio]methyl]-8-oxo-, monosodium salt, [6R-(6α,7α)]- (9CI)

## Absolute stereochemistry.

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	31.12	381.44
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.50	-6.75

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